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U.S. PATENT DOCUMENTS

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Examiner		Document	Date	Name	Class	Subclass	Filing Date
Initial_		Number					If Appropriate
	AA	4,447,419	05/1984	G. Quadro	424	177	
	AB	5,523,313	06/1996	Nunami, et al.	514	365	
	AC	5,395,824	03/07/95	Higuchi, et al.	514	19	
	AD	5,424,325	06/13/95	Ando, et al.	514	357	<u> </u>
`	AE	5,422,359	06/06/95	Ando, et al	514	365	

FOREIGN PATENT DOCUMENTS

	Document	Date	Country	Class	Subclass	<u>Translation</u>	
	Number				<u> </u>	Yes I	No
AF	EP 0 504 938A2	03/1992	EPO				-
AG	WO 94/23033	10/1994	PCT				
AH	WO 96/40737	12/1996	PCT				
AI	WO 96/13523	05/1996	PCT				
AJ	EP 0 611 756 A2	08/1994	EPO				
AK	WO 94/04172	03/1994	PCT			L	

OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)

	OTHER DOCUMENTS (Including Admor, Time, Date, Ferniletti Fages, Etc.)					
AL	Bossard, et al., (1996), J. of Bio. Chem;, Vol. 271, No. 21, pp. 12517-12524.					
AM	Bromme, et al., (1996), Biochemical Journal, Vol. 315, pp. 85-89, especially abstract, Figure 1.					
AN	Velasco, et al., (1994), J. of Bio. Chem ; <i>Vol. 269, No. 43</i> , pp. 27136-27142, especially the abstract.					
AO	Magrath, et al., (1992), J. of Med. Chem; Vol. 35, No. 23 , pp. 4279-4283, especially page 4281, column 1, structures 1-4 and 7.					
AP	Graybill, et al., (1992), Bioorganic & Medicinal Chemistry Letters ; <i>Vol. 2, No. 11</i> , pp. 1375-1380, especially page 1377. Scheme I.					
AQ	Palmer, et al., (1995), J. of Med. Chem; Vol. 38, No. 17, pp. 3193-3196.					
AR	Danheiser, (1995), Genetic Engineering News; Vol. 15, No. 17, pp. 1-1 and 35-36.					
AS	Rasnick, (1996), Perspectives in Drug Discovery & Design; Vol. 6, pp. 48-63.					
EXAMINER	DATE CONSIDERED					

•EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609; Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

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	АТ	5,501,969	03/26/96	Hastings, et	al.	435	240.2			
	AU	5,057,525	10/1991	G. Van Dael	e	514	318			
	AV	4,994,471	02/1991	Lalinde, et a	ı.	514	326			
	AW	5,374,637	12/1994	Van Daele		514	320			
			FO	REIGN PATE	NT DOCUMENTS					
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	AX	EP 0 603 873 A1	06/1994	EPO			1	,		
	AY	WO 97/47642	12/1997	PCT						
	ΑZ	WO 97/47643	12/1997	PCT						
	BA	WO 98/05336	02/1998	PCT						
	вв	WO 98/08802	03/1998	PCT						
	вс	WO97/49668	12/1997	PCT						
		OTHER DO	CUMENTS (Inc	cluding Auth	or, Title, Date, Perti	nent Pages, Etc	c.)			
	BD	_			lis proteinases in period Discovery and Design,			infection	and	
	BE		osin K, but Not	Cathepsins B, 1	L, or S, Is Abundantly I			, (1996),	J. of	
	BF	Bromme, et al., "Hur	nan Cathepsin 0	02, a Matrix Pro	otein-degrading Cysteir	ne Protease Expre	ssed in Osteocla	asts", (199	6), J. of	
	BG		ivo and In Vitro	o Evidence for	the Involvement of Cysnications, 125(2), pp. 4		in Bone Resorp	otion", (19	984),	
	вн				lture by inhibitors of th		(1980), Bioche n	n. J., 192,	pp.	
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	ві	5,206,251	04/1993	Khanna, et a	1.	514	315			
	ВЈ	5,216,168	06/1993	Khanna, et a	1.	546	242	···		
	вк	5,585,387	12/1996	Lu et al.		514	327			
***	BL	5,668,128	09/1997	Tsubotani et	al.	514	183			
	ВМ	5,142,056	08/1992	Kempe, et al		546	265			
			FO	REIGN PATEI	NT DOCUMENTS					
	. Document Date				Country	Class	Subclass	<u>Trans</u>	<u>anslation</u>	
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	BN	EP 0 623 592	11/1994	ЕРО						
	во	WO 92/04371	03/1992	PCT (GB91/	(01479)					
	BP	WO 98/49152	11/1998	PCT						
	BQ	WO 98/48799	11/1998	PCT						
	BR	WO 98/50342	11/1998	PCT						
	BS	EP 0 525 420 A1	02/1993	EPO (see als	so US equiv. 5,639,783)					
					or, Title, Date, Pertinent					
_	BT		-	-	inase Inhibitor, Inhibits Bone elated Peptide of Malignancy					
		Research, 7(4), pp. 4.	33-439		. ,					
	BU	Hill, et al., "Inhibition Biochemistry, 56, pp.		ption by Selec	tive Inactivators of Cysteine	Proteinases	s", (1994), J. of (Cellular		
	BV	Delaise, et al., "The E	ffects of Inhibit	ors of Cystein	e-Proteinases and Collagenas	e on the Re	esorptive Activit	y of Isolat	ted	
	BW	Osteoclasts", Bone , 8,		azole-, 1.3.4-0	Oxadiazole-, and 1,2,4-Triazo	le-Derived	Dipeptidomietic	s". J. Or	g.	
		Chem., 60, pp. 3112-3	3120							
	BX	Boden, et al, "Total Sy (1994), Tetrahedron .			a Cytotoxic Cyclic Peptide fr	om the Tur	nicate Lissoclinu	m patella'	,	
EXAMINER	2	1 (, Len anicul on	20101,00(44),	PP: 02/1 02/1	DATE CONSIDERED					
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Examiner Initial		Document Number	Date		Name	Class	Subclass	_	Date opriate	
	BY	4,518,528	05/1985	D. Rasnick		260	112.5 R			
	BZ	5,861,298	01/1999		ATG50006-2.					
	CA	5,948,669	09/1999	Field et al						
	СВ	09/078,314		Field et al				05/13/98	3	
		•	FO	REIGN PATEN	NT DOCUMENTS	•				
		Document Number	Date		Country	Class	Subclass	<u>Trans</u> Yes	lation No	
					·			٠		
C	cc	Everts, et al., "Degrad	ation of Collag	en in the Bone	or, Title, Date, Pertine Resorbing Compartmens", (1992), Journal of Co	t Underlying the	e Osteoclast Inv		h	
C	CD	Shi, et al., "Molecular Ltrs., 357, pp. 129-13		nan cathepsin (D, a novel endoproteinase	e and homologu	e of rabbit OC2	", (1995),	FEBS	
C	Œ		_		for Cathepsin K: Novel			antly Expi	ressed	
С	CF		Method for D	etermining the	Absolute Molarity of So			rypsin"	,	
C	CG	Barker, et al., "The Re	action of an α-		cid Derivative with Chyr.	notrypsin and It	s Use as a Liga	nd", (19	974),	
	СН	Biochem J., 139, 555-			DI # (1077)	1 22 0	27.940	·		
	CI			•	Phen", (1977), Tetrah			to!! (100.4		
	-1			_	steine Proteinase Predom	manuy Express	eu in Osteocias	ıs , (1994), J •	
	.j	Biolog. Chem., 269(2)				(100() T D: 1	C) 250 5	4070	4205	
ļ. C		Gupton, et al., "Reacti	on of Azapeption	des with Chym	notrypsin-like Enzymes", DATE CONSIDERED		. Chem., 259:7,	pp. 4279	-4287	
EXAMINER										
	itial if	citation considered, wh	ether or not cit	ation is in cont	formance with MPEP 609;	Draw line thro	ah citation if no	ot in		

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	CK	08/860,255		P50574 .				10/30/96)	
	CL	09/463,000		P50684				01/14/00)	
	СМ	4,038,247	07/1977	Muller et al.		260	45.9			
	CN	5,830,850	11/1998	Gelb et al.		514	2			
	СО	4,749,792	06/1988	Natarajan, et	al.	546	312			
	СР	4,638,010	01/1987	Weller, III.,		514	423			
			FO	REIGN PATE	NT DOCUMENTS					
		Document Number	Date		Country	Class	Subclass	<u>Trans</u> Yes	ilation I No	
	CQ	WO 95/05192	02/1995	РСТ						
	CR	EP 0 543 310	05/1993	EPO						
	CS	EP 0 237 082 A	09/1987	EPO						
	СТ	WO 94/00095	01/1994	PCT						
	CU	WO 94/24182 A	09/1995	PCT						
		OTHER DO	CUMENTS (Inc	cludina Auth	or, Title, Date, Pertinen	t Paaes. Etc	c.)			
	CV	Powers, et al., "React Chem., 259:7, pp. 42	tion of Azapepti	des with Huma	an Leukocyte Elastase and I	Pricine Pancre	eatic Elastase",	(1984), J.	Biol.	
	CW				nthesis and Inhibition Data"					
	CX	4			hibitors of Microbial Origin (4-guanidino)butane(E-64)					
		201 , p. 189-198								
	CZ	118:11, p. 2539-2544	4		yntheses of a New Peptidon					
	DA	Grinde, "Selective In Acta., 701, pp. 328-3	•	omal Protein Do	egradation By the Thiol Pro	oteinase" (1	982), Biochem	. J. Bioph	ys.	
EXAMINER	!	1 - 2 - 2 - 2 - 2 - 2 - 2 - 2 - 2 - 2 -			DATE CONSIDERED					
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•	DC	I .			pitors: Design of Inhibitors			es". (1996	 D.	
	20	Biochem., 35:11, pp.		10 0000 111111	who is a second of the second of			, (,,	
	DD	Calabretta, et al., "Peptidyl and azapeptidyl methylketones as substrate analog inhibitors of papain and cathepsin B", (1995),								
		Eur. J. Med. Chem., 30, pp. 931-941								
	DE	McConnell, et al., "In	hibition Studies	of Some Seri	ne and Thiol Proteinases by	New Leuper	tin Analogues"	, (1993), J	J. Me	
		Chem , <i>36</i> , pp. 1084-	1089							
	DF	Kawada, et al., "Poly	mer Compositio	ns", (1971), C	hemical Abstracts, Vol. 8.	B, DN 83: 180	329; JP 500581	42 (1975))	
	DG	Castelhano, et al., "S	ynthesis, Chemi	stry and Absol	ute Configuration of Novel	Transglutam	inase Inhibitors	Containir	ng a 3	
	20	Halo-4,5-dihydroisox	azole", (1988),	Bioorg. Chem	1., <i>Vol. 16, No. 3,</i> pp. 335-3	40				
	DH	Greenlee, et al., "Aza	peptides: A Ne	w Class of An	giotensin-Converting Enzy	me Inhibitors	", (1984), Bioch	em. & Bi	ophy	
		Research Communi	cations, 122:2,	pp. 791-797						
	DI	Auger, et al., "Solid-	State 13C NMR	Study of a Tra	ansglutaminase-Inhibitor Ac	iduct", (1993), Biochemistry	, Vol. 32,	No.	
	DI.	pp. 3930-3934								
	DJ	Database WPIDS on	STN, Derwent I	Publications L'	TD., (Columbus, Ohio), AN	85-029005,	JP 59225172 A	(Yamano	uchi	
	Di	Pharm Co. LTD), Ab	stract, (1984)							
	DK	Thompson, et al., "Design of potent and selective human cathepsin K Inhibitors that span the active site", (1997), Proc. Nat								
	~	Acad. Sci. USA, 94,	pp. 14249-1425	4						
	DL	Yamashita, et al., "St	ructure and Desi	ign of Potent a	and Selective Cathepsin K I	nhibitors", (1	997), J. Amer.	Chem. So	c., 11	
	DL	pp. 11351-11352								
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		FOI	REIGN PATE	NT DOCUMENTS					
	Document Number	Date		Country	Class	Subclass	<u>Trans</u> Yes	<u>lation</u> No	
DO	WO 99/11637	03/1999	PCT						
DP	WO 00/38687	07/2000	PCT						
	OTHER DOO	CUMENTS (Inc	luding Auth	or, Title, Date, Pertinent I	Pages, Et	c.)			
DQ		•		KIV. Attempts to Activate Ri Perkin Trans I, Vol. 3, pp. 31		g-Ring-closure F	Rearranger	nents	
DR				secretory agents", (1989), Ph					
DS	Chem., 29B:2, pp. 18	2-183		of isoxazol-3-carbonyl azide:					
DT	į.			Enantioselective Synthesis of tool. 51, No. 21, pp. 6061-6070		droazepine Rin	g via Chira	al	
DU	Winkler, "Molecular M	Molding Studies	s of "Flap Up"	Mannosyl Cation Mimics", (1996), J. N	/led.Chem., 39,	pp. 4332-	4334	
	+		_						
EXAMINER				DATE CONSIDERED					
citation if not in				ion is in conformance wi					

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